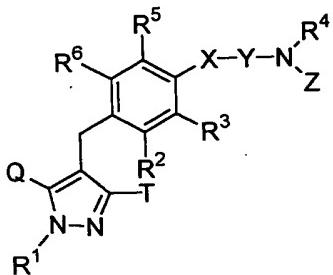


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DT01 Rec'd PCT/PTC 22 FEB 2005

## CLAIMS

1. A pyrazole derivative represented by the general formula:



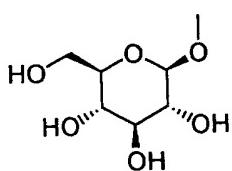
5 wherein

$R^1$  represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a hydroxy(C<sub>2-6</sub> alkyl) group, a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyl-substituted (C<sub>1-6</sub> alkyl) group, an aryl group which may have the same or different 1 to 3 substituents

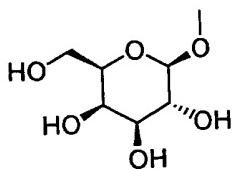
10 selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, or an aryl(C<sub>1-6</sub> alkyl) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and

15 a C<sub>1-6</sub> alkoxy group on the ring;

one of Q and T represents a group represented by the formula:



or a group represented by the formula:



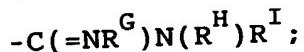
while the other represents a C<sub>1-6</sub> alkyl group, a halo(C<sub>1-6</sub> alkyl) group, a C<sub>1-6</sub> alkoxy-substituted (C<sub>1-6</sub> alkyl) group or a C<sub>3-7</sub> cycloalkyl group;

5        R<sup>2</sup> represents a hydrogen atom, a halogen atom, a hydroxy group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a C<sub>1-6</sub> alkoxy-substituted (C<sub>1-6</sub> alkoxy) group or -A-R<sup>A</sup> in which A represents  
10      a single bond, an oxygen atom, a methylene group, an ethylene group, -OCH<sub>2</sub>- or -CH<sub>2</sub>O-; and R<sup>A</sup> represents a C<sub>3-7</sub> cycloalkyl group, a C<sub>2-6</sub> heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group, a halo(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a cyano group and a nitro group, or a heteroaryl group which may have a substituent  
15      selected from the group consisting of a halogen atom and a C<sub>1-6</sub> alkyl group;

20      X represents a single bond, an oxygen atom or a sulfur atom;

Y represents a C<sub>1-6</sub> alkylene group which may be substituted by a hydroxy group or a C<sub>2-6</sub> alkenylene group;

25      Z represents -R<sup>B</sup>, -COR<sup>C</sup>, -SO<sub>2</sub>R<sup>C</sup>, -CON(R<sup>D</sup>)R<sup>E</sup>, -SO<sub>2</sub>NHR<sup>F</sup> or



- $\text{R}^{\text{C}}$  represents an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl-  
5 sulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 5 groups selected from the following substituent  
10 group (i);
- $\text{R}^{\text{4}}$ ,  $\text{R}^{\text{B}}$ ,  $\text{R}^{\text{D}}$ ,  $\text{R}^{\text{E}}$  and  $\text{R}^{\text{F}}$  are the same or different, and each represents a hydrogen atom, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group,  
15 a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 5 groups selected from the following  
20 substituent group (i), or both of  $\text{R}^{\text{4}}$  and  $\text{R}^{\text{B}}$  bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl)  
25 group and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group, or both of  $\text{R}^{\text{D}}$  and  $\text{R}^{\text{E}}$  bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have

a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group;

5           R<sup>G</sup>, R<sup>H</sup> and R<sup>I</sup> are the same or different, and each represents a hydrogen atom, a cyano group, a carbamoyl group, a C<sub>2-7</sub> acyl group, a C<sub>2-7</sub> alkoxy carbonyl group, an aryl(C<sub>2-7</sub> alkoxy carbonyl) group, a nitro group, a C<sub>1-6</sub> alkylsulfonyl group, a sulfamide group, a carbamimidoyl group, or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 5 groups selected from the following 10 substituent group (i), or both of R<sup>G</sup> and R<sup>H</sup> bind to form an ethylene group, or both of R<sup>H</sup> and R<sup>I</sup> bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group;

15           R<sup>3</sup>, R<sup>5</sup> and R<sup>6</sup> are the same or different, and each represents a hydrogen atom, a halogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub> alkoxy group; and

20           substituent group (i) consists of a hydroxy group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonyl group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl

group,  $-\text{CON}(\text{R}^{\text{J}})\text{R}^{\text{K}}$  in which  $\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  are the same or different, and each represents a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> alkyl-sulfonylamino group and a carbamoyl group, or both of  $\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group, an aryl(C<sub>1-6</sub> alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group on the ring, an aryl(C<sub>1-6</sub> alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group on the ring, a C<sub>3-7</sub> cycloalkyl group, a C<sub>2-6</sub> heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group.

group and a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group, and a C<sub>1-4</sub> aromatic cyclic amino group which may have a C<sub>1-6</sub> alkyl group as a substituent,  
5 or a pharmaceutically acceptable salt thereof.

10 2. A pyrazole derivative claimed in claim 1, wherein R<sup>4</sup>  
represents a hydrogen atom, an aryl group which may have the  
same or different 1 to 3 substituents selected from the group  
consisting of a halogen atom, a hydroxy group, an amino group,  
a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub>  
alkoxy group, a heteroaryl group which may have a substituent  
selected from the group consisting of a halogen atom, an amino  
group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group which may  
have the same or different 1 to 5 groups selected from the following  
substituent group (i); R<sup>B</sup> represents an aryl group which may  
have the same or different 1 to 3 substituents selected from  
the group consisting of a halogen atom, a hydroxy group, an amino  
group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and  
a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a  
substituent selected from the group consisting of a halogen atom,  
an amino group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group  
which may have the same or different 1 to 5 groups selected from  
the following substituent group (i); R<sup>C</sup> represents an aryl group

which has the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have 5 a substituent selected from the group consisting of a halogen atom, an amino group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (i); and

substituent group (i) consists of a hydroxy group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, an amino group, a mono 10 or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> alkylsulfonylamino group, 15 a C<sub>1-6</sub> alkylsulfonyl group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, -CON(R<sup>J</sup>)R<sup>K</sup> in which R<sup>J</sup> and R<sup>K</sup> are the same or different, and each represents a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono 20 or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> alkylsulfonylamino group and a carbamoyl group, or both of R<sup>J</sup> and R<sup>K</sup> bind together with the neighboring nitrogen atom to form 25 a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group,

a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-  
substituted (C<sub>1-6</sub> alkyl) group, an aryl(C<sub>1-6</sub> alkoxy) group which  
may have the same or different 1 to 3 substituents selected from  
the group consisting of a halogen atom, a hydroxy group, an amino  
group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group on the ring, an  
aryl(C<sub>1-6</sub> alkylthio) group which may have the same or different  
1 to 3 substituents selected from the group consisting of a halogen  
atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and  
a C<sub>1-6</sub> alkoxy group on the ring, a C<sub>3-7</sub> cycloalkyl group, a C<sub>2-6</sub>  
heterocycloalkyl group, an aryl group which may have the same  
or different 1 to 3 substituents selected from the group  
consisting of a halogen atom, a hydroxy group, an amino group,  
a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub>  
alkoxy group, a heteroaryl group which may have a substituent  
selected from the group consisting of a halogen atom, an amino  
group and a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> cyclic amino group which  
may have a substituent selected from the group consisting of  
a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo  
group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group  
and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group.  
and a C<sub>1-4</sub> aromatic cyclic amino group which may have a C<sub>1-6</sub>  
alkyl group as a substituent,  
or a pharmaceutically acceptable salt thereof.

25 3. A pyrazole derivative claimed in claim 2, wherein Z  
represents -R<sup>B</sup>; R<sup>B</sup> represents an aryl group which has the same  
or different 1 to 3 substituents selected from the group

consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (i); and

5 substituent group (i) consists of a hydroxy group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, an amino group, a mono 10 or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonyl group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl 15 group, -CON(R<sup>J</sup>)R<sup>K</sup> in which R<sup>J</sup> and R<sup>K</sup> are the same or different, and each represents a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> 20 alkylsulfonyl group and a carbamoyl group, or both of R<sup>J</sup> and R<sup>K</sup> bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected 25 from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-

substituted (C<sub>1-6</sub> alkyl) group, an aryl(C<sub>1-6</sub> alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group on the ring, an 5 aryl(C<sub>1-6</sub> alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group on the ring, a C<sub>3-7</sub> cycloalkyl group, a C<sub>2-6</sub> heterocycloalkyl group, an aryl group which may have the same 10 or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group and a C<sub>1-6</sub> alkylsulfonylamino-substituted (C<sub>1-6</sub> alkyl) group, 15 and a C<sub>1-4</sub> aromatic cyclic amino group which may have a C<sub>1-6</sub> alkyl group as a substituent, 20 or a pharmaceutically acceptable salt thereof.

4. A pyrazole derivative claimed in claim 3, wherein R<sup>4</sup>  
25 represents a hydrogen atom; R<sup>B</sup> represents a C<sub>1-6</sub> alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (iA); and

substituent group (iA) consists of a hydroxy group, an amino group, a mono or di( $C_{1-6}$  alkyl)amino group, a carboxy group, a  $C_{2-7}$  alkoxy carbonyl group and  $-\text{CON}(R^{JA})R^{KA}$  in which  $R^{JA}$  and  $R^{KA}$  are the same or different, and each represents a hydrogen atom or a  $C_{1-6}$  alkyl group which may have the same or different 5 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di( $C_{1-6}$  alkyl)amino group and a carbamoyl group, or both of  $R^{JA}$  and  $R^{KA}$  bind together with the neighboring nitrogen atom to form a  $C_{2-6}$  cyclic amino group 10 which may have a substituent selected from the group consisting of a  $C_{1-6}$  alkyl group and a hydroxy( $C_{1-6}$  alkyl) group, or a pharmaceutically acceptable salt thereof.

5. A pyrazole derivative claimed in claim 4, wherein  $R^B$  15 represents a  $C_{1-6}$  alkyl group which has a carbamoyl group, or a pharmaceutically acceptable salt thereof.

6. A pyrazole derivative claimed in claim 2, wherein  $Z$  20 represents  $-\text{CON}(R^D)R^E$ , or a pharmaceutically acceptable salt thereof.

7. A pyrazole derivative claimed in claim 6, wherein  $R^D$  represents a hydrogen atom;  $R^E$  represents a  $C_{1-6}$  alkyl group which has the same or different 1 to 5 groups selected from the 25 following substituent group (iB); and substituent group (iB) consists of a hydroxy group, an amino group, a mono or di( $C_{1-6}$  alkyl)amino group and  $-\text{CON}(R^{JB})R^{KB}$  in which  $R^{JB}$  and  $R^{KB}$  are the

same or different, and each represents a hydrogen atom, a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group and a mono or di(C<sub>1-6</sub> alkyl)amino group, or pharmaceutically acceptable salt thereof.

8. A pyrazole derivative claimed in claim 2, wherein Z represents -C(=NR<sup>G</sup>)N(R<sup>H</sup>)R<sup>I</sup>, or pharmaceutically acceptable salt thereof.

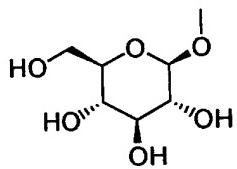
9. A pyrazole derivative claimed in claim 8, wherein R<sup>G</sup> represents a hydrogen atom or a C<sub>1-6</sub> alkylsulfonyl group; R<sup>H</sup> represents a hydrogen atom; R<sup>I</sup> represents a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (iC); and substituent group (iC) consists of a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, or pharmaceutically acceptable salt thereof.

10. A pyrazole derivative claimed in claim 2, wherein Z represents -COR<sup>C</sup>; R<sup>C</sup> represents a C<sub>1-6</sub> alkyl group which has a group selected from the following substituent group (iD); and substituent group (iD) consists of an amino group and -CON(R<sup>JC</sup>)R<sup>KC</sup> in which both of R<sup>JC</sup> and R<sup>KC</sup> bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a C<sub>1-6</sub> alkyl group and a hydroxy(C<sub>1-6</sub> alkyl) group, or pharmaceutically

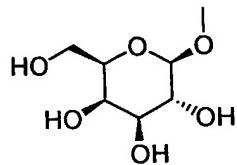
acceptable salt thereof.

11. A pyrazole derivative claimed in any one of claims 1-10,  
wherein X represents a single bond or an oxygen atom; and Y  
5 represents an ethylene group or a trimethylene group, or  
pharmaceutically acceptable salt thereof.

12. A pyrazole derivative claimed in any one of claims 1-11,  
wherein R<sup>1</sup> represents a hydrogen atom or a hydroxy(C<sub>2-6</sub> alkyl)  
10 group; T represents a group represented by the formula:

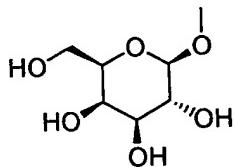


or a group represented by the formula:



Q represents a C<sub>1-6</sub> alkyl group or a halo(C<sub>1-6</sub> alkyl) group;  
15 and R<sup>3</sup>, R<sup>5</sup> and R<sup>6</sup> represent a hydrogen atom, or a pharmaceutically  
acceptable salt thereof.

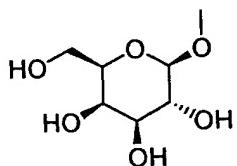
13. A pyrazole derivative claimed in any one of claims 1-11  
wherein one of Q and T represents a group represented by the  
20 formula:



the other represents a C<sub>1</sub>-6 alkyl group, a halo(C<sub>1</sub>-6 alkyl) group, a C<sub>1</sub>-6 alkoxy-substituted (C<sub>1</sub>-6 alkyl) group or a C<sub>3</sub>-7 cycloalkyl group, or a pharmaceutically acceptable salt thereof.

5

14. A pyrazole derivative claimed in claim 12 or 13, wherein T represents a group represented by the formula:



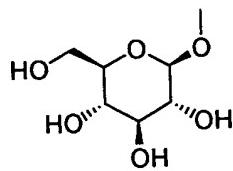
or a pharmaceutically acceptable salt thereof.

10

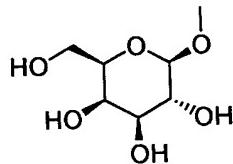
15. A pyrazole derivative claimed in claim 12 or 14, wherein Q represents an isopropyl group, or a pharmaceutically acceptable salt thereof.

16. A prodrug of a pyrazole derivative claimed in any one of claims 1-15 or a pharmaceutically acceptable salt thereof.

17. A prodrug claimed in claim 16, wherein T represents a group represented by the formula:

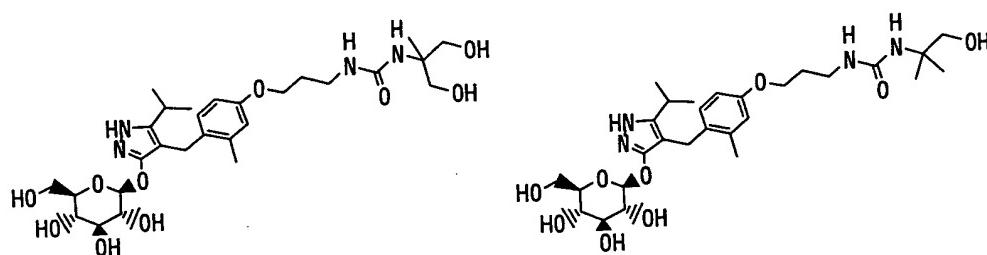


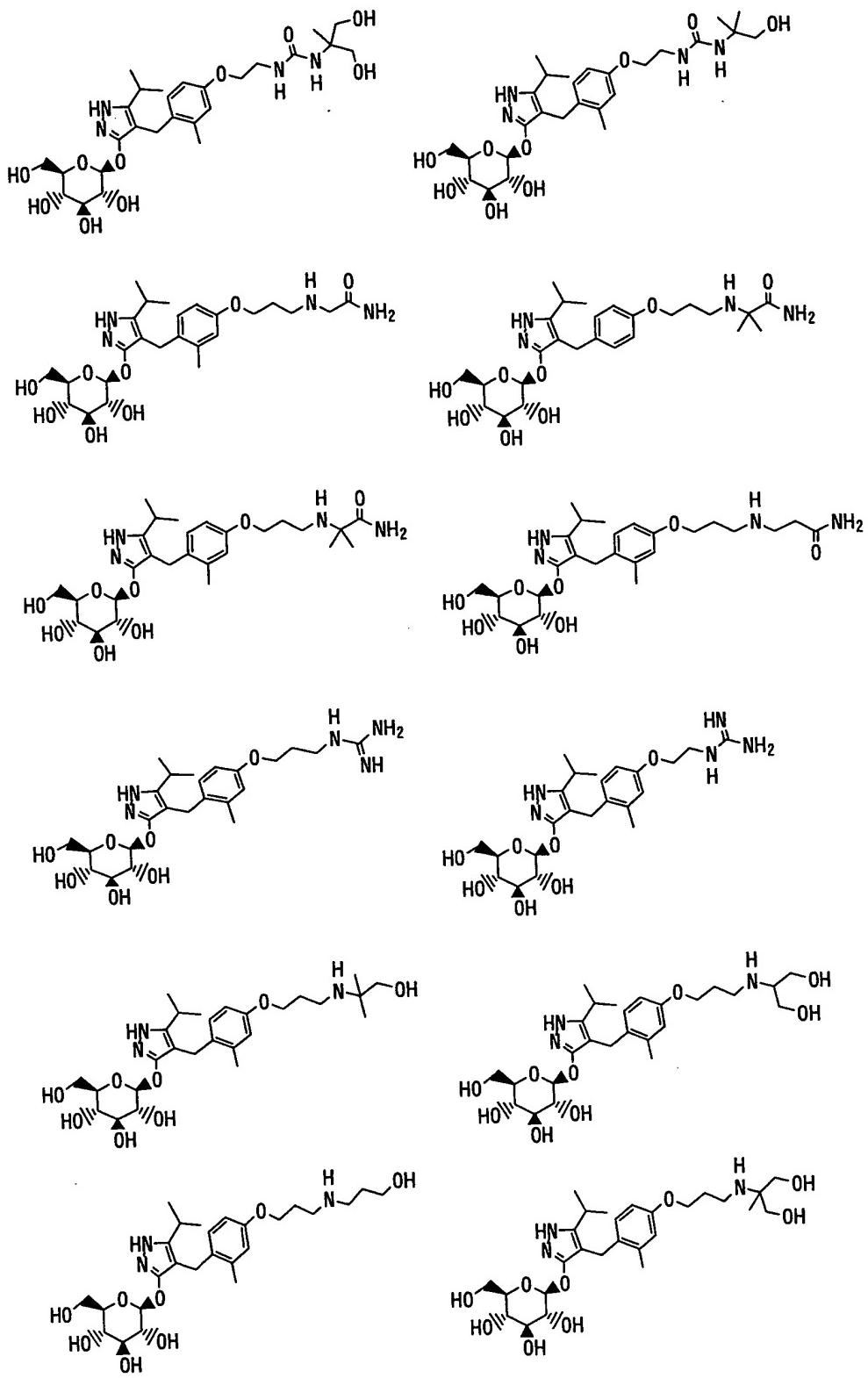
or a group represented by the formula:

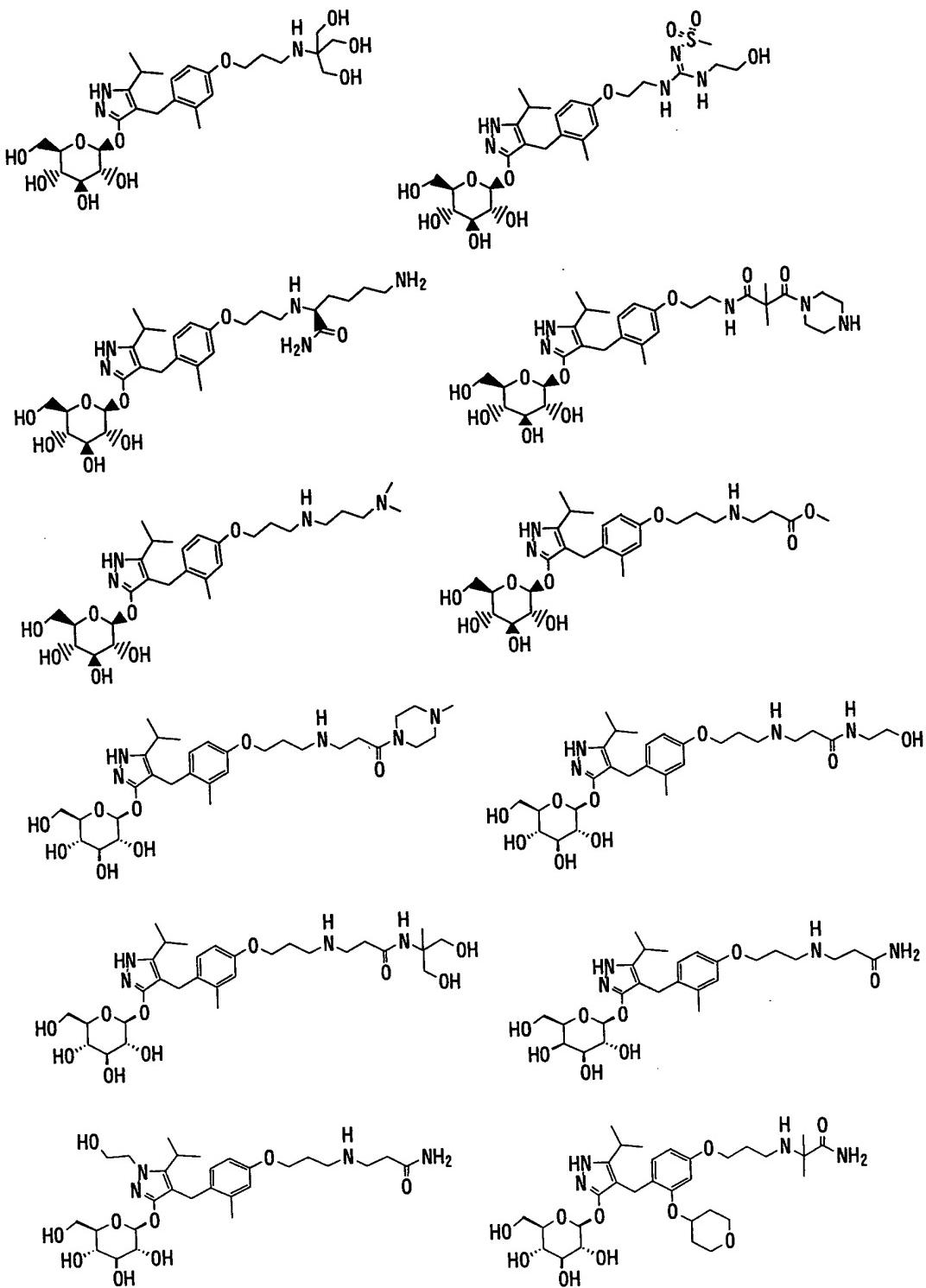


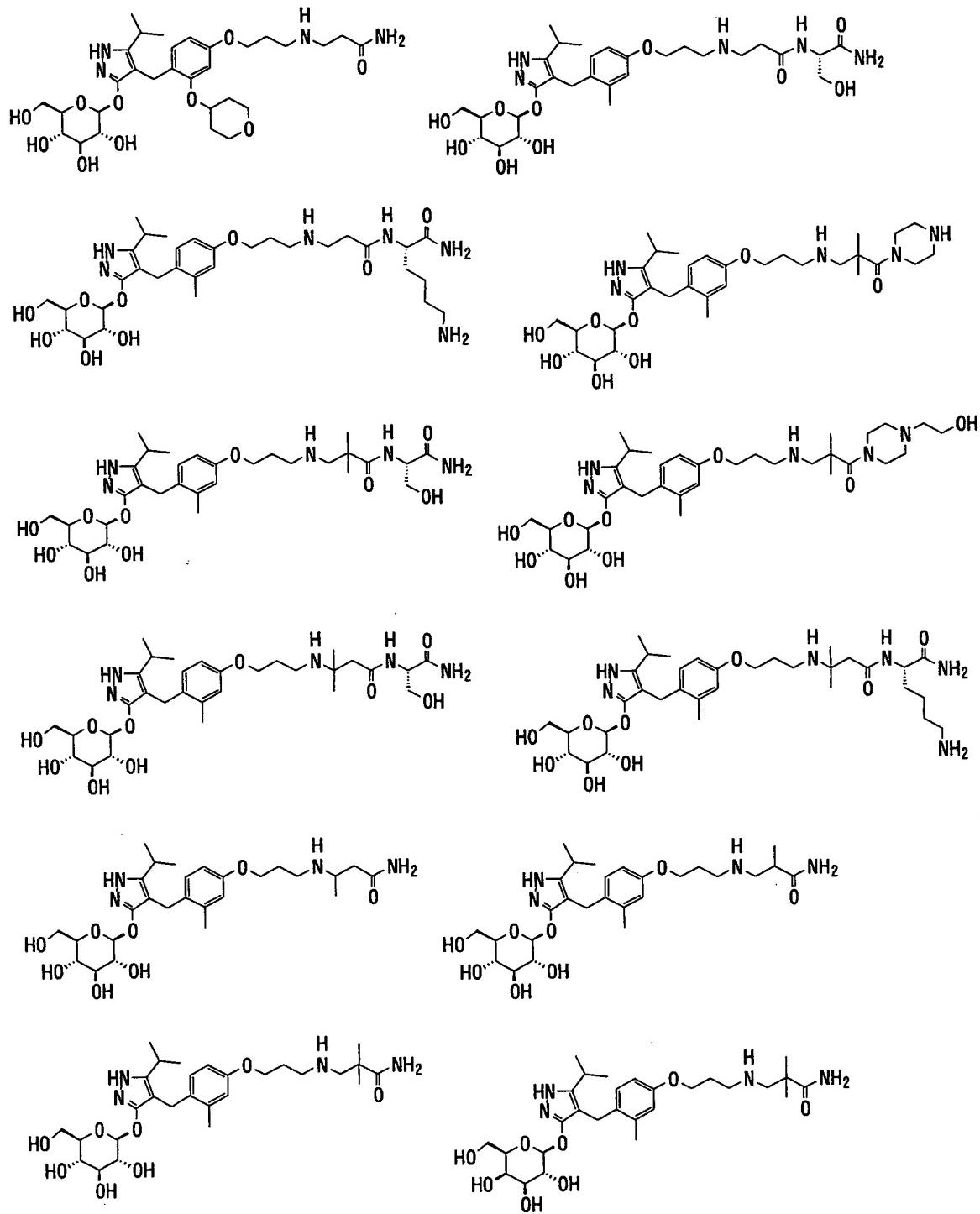
in which the hydroxy group at the 4-position is substituted by  
 5 a glucopyranosyl group or a galactopyranosyl group, or the  
 hydroxy group at the 6-position is substituted by a  
 glucopyranosyl group, a galactopyranosyl group, a C<sub>2-7</sub> acyl group,  
 a C<sub>1-6</sub> alkoxy-substituted (C<sub>2-7</sub> acyl) group, a C<sub>2-7</sub> alkoxy-  
 carbonyl-substituted (C<sub>2-7</sub> acyl) group, a C<sub>2-7</sub> alkoxycarbonyl  
 10 group, an aryl(C<sub>2-7</sub> alkoxycarbonyl) group or a C<sub>1-6</sub>  
 alkoxy-substituted (C<sub>2-7</sub> alkoxycarbonyl) group.

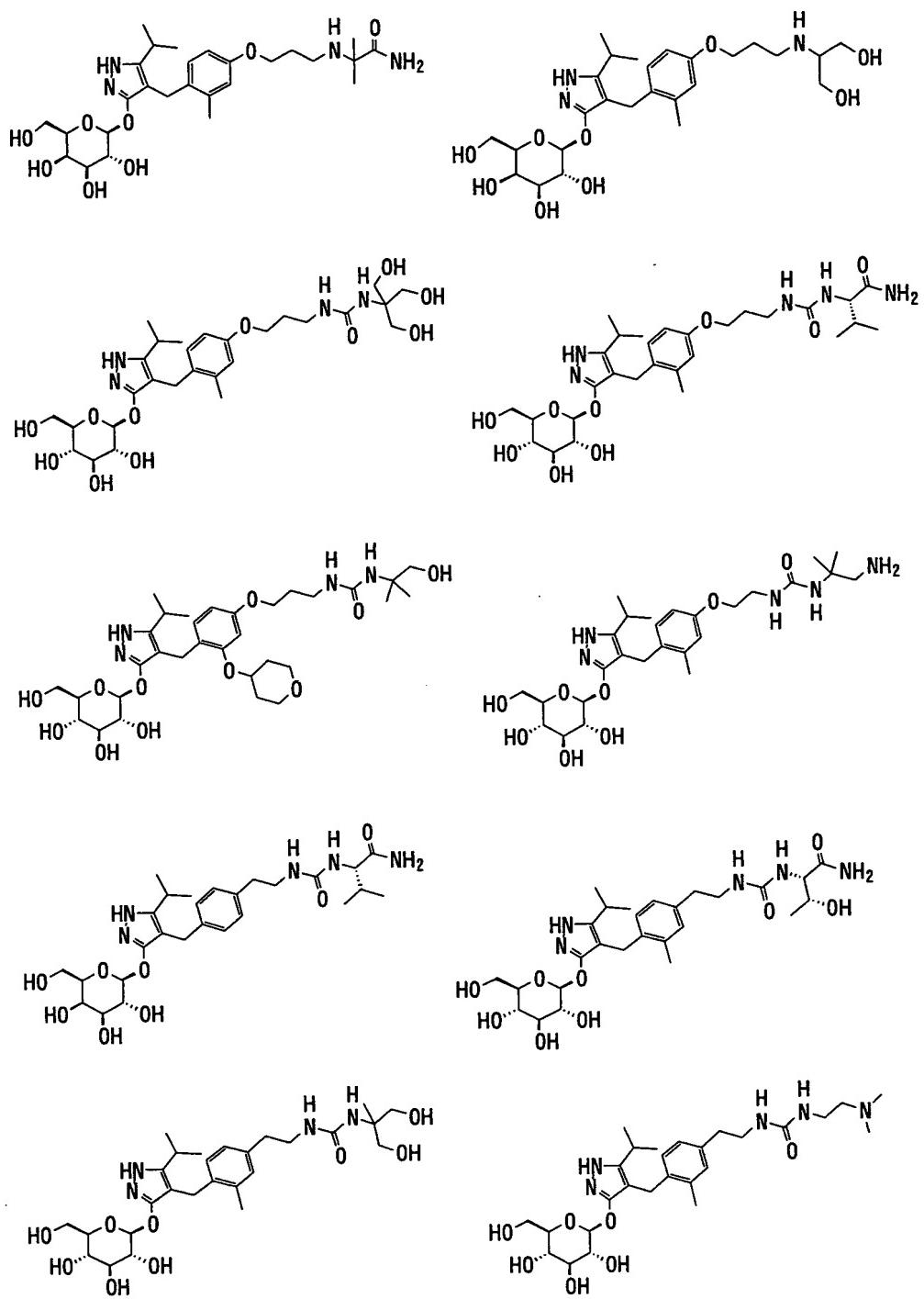
18. A pyrazole derivative claimed in claim 1, which is a  
 compound selected from the following group and pharmaceutically  
 acceptable salts thereof.

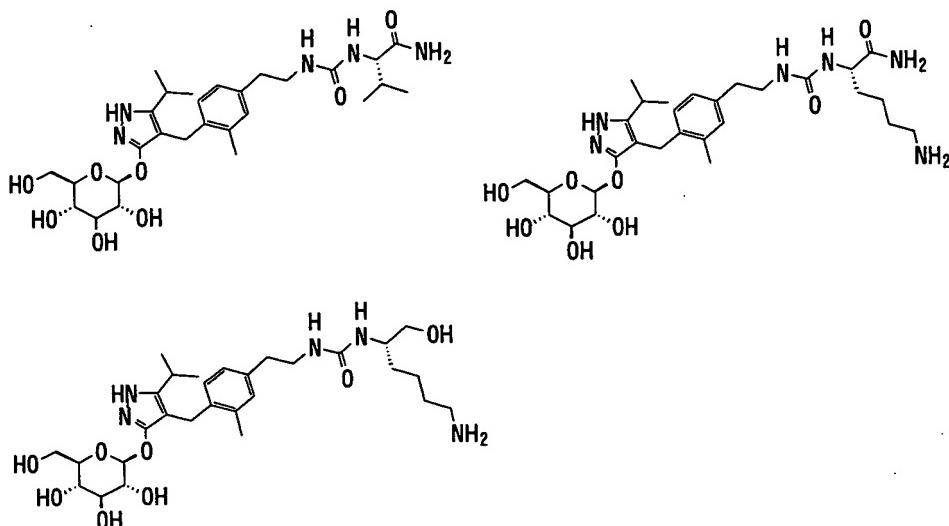












19. A pharmaceutical composition comprising as an active  
5 ingredient a pyrazole derivative claimed in any one of claims  
1-18, a pharmaceutically acceptable salt thereof or a prodrug  
thereof.
20. A human SGLT1 inhibitor comprising as an active ingredient  
10 a pyrazole derivative claimed in any one of claims 1-18, a  
pharmaceutically acceptable salt thereof or a prodrug thereof.
21. An agent for inhibiting postprandial hyperglycemia  
comprising as an active ingredient a pyrazole derivative claimed  
15 in any one of claims 1-18, a pharmaceutically acceptable salt  
thereof or a prodrug thereof.
22. An agent for the prevention or treatment of a disease  
associated with hyperglycemia, which comprises as an active  
20 ingredient a pyrazole derivative claimed in any one of claims

1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof.

23. An agent for the prevention or treatment claimed in claim  
5 22, wherein the disease associated with hyperglycemia is a  
disease selected from the group consisting of diabetes, impaired  
glucose tolerance, impaired fasting glycemia, diabetic  
complications, obesity, hyperinsulinemia, hyperlipidemia,  
hypercholesterolemia, hypertriglyceridemia, lipid metabolism  
disorder, atherosclerosis, hypertension, congestive heart  
10 failure, edema, hyperuricemia and gout.

24. An agent for the inhibition of advancing impaired glucose  
tolerance or impaired fasting glycemia into diabetes in a subject,  
15 which comprises as an active ingredient a pyrazole derivative  
claimed in any one of claims 1-18, a pharmaceutically acceptable  
salt thereof or a prodrug thereof.

25. An agent for the prevention or treatment of a disease  
20 associated with the increase of blood galactose level, which  
comprises as an active ingredient a pyrazole derivative claimed  
in any one of claims 1-18, a pharmaceutically acceptable salt  
thereof or a prodrug thereof.

25 26. An agent for the prevention or treatment claimed in claim  
25, wherein the disease associated with the increase of blood  
galactose level is galactosemia.

27. A pharmaceutical composition claimed in claim 19, wherein  
the dosage form is sustained release formulation.
- 5 28. An agent claimed in any one of claims 20-26, wherein the  
dosage form is sustained release formulation.
- 10 29. A method for the prevention or treatment of a disease  
associated with hyperglycemia, which comprises administering  
an effective amount of a pyrazole derivative claimed in any one  
of claims 1-18, a pharmaceutically acceptable salt thereof or  
a prodrug thereof.
- 15 30. A method for the inhibition of advancing impaired glucose  
tolerance or impaired fasting glycemia into diabetes in a subject,  
which comprises administering an effective amount of a pyrazole  
derivative claimed in any one of claims 1-18, a pharmaceutically  
acceptable salt thereof or a prodrug thereof.
- 20 31. A method for the prevention or treatment of a disease  
associated with the increase of blood galactose level, which  
comprises administering an effective amount of a pyrazole  
derivative claimed in any one of claims 1-18, a pharmaceutically  
acceptable salt thereof or a prodrug thereof.
- 25 32. A use of a pyrazole derivative claimed in any one of claims  
1-18, a pharmaceutically acceptable salt thereof or a prodrug

thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

5 33. A use of a pyrazole derivative claimed in any one of claims 1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject.

10 34. A use of a pyrazole derivative claimed in any one of claims 1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with the 15 increase of blood galactose level.

35. A pharmaceutical combination which comprises (A) a pyrazole derivative claimed in any one of claims 1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting 20 of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, 25 a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a

fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral

endopeptidase inhibitor, an angiotensin II receptor antagonist,  
an endothelin-converting enzyme inhibitor, an endothelin  
receptor antagonist, a diuretic agent, a calcium antagonist,  
a vasodilating antihypertensive agent, a sympathetic blocking  
agent, a centrally acting antihypertensive agent, an  
5  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid  
synthesis inhibitor, a uricosuric agent and a urinary  
alkalinizer.

10 36. A method for the prevention or treatment of a disease  
associated with hyperglycemia or a disease associated with the  
increase of blood galactose level, which comprises administering  
an effective amount of (A) a pyrazole derivative claimed in any  
one of claims 1-18, a pharmaceutically acceptable salt thereof  
15 or a prodrug thereof, and (B) at least one member selected from  
the group consisting of an insulin sensitivity enhancer, a  
glucose absorption inhibitor, a biguanide, an insulin secretion  
enhancer, a SGLT2 inhibitor, an insulin or insulin analogue,  
a glucagon receptor antagonist, an insulin receptor kinase  
20 stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl  
peptidase IV inhibitor, a protein tyrosine phosphatase-1B  
inhibitor, a glycogen phosphorylase inhibitor, a  
glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic  
25 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like  
peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting

antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

- 5 37. A method for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject, which comprises administering an effective amount of (A) a pyrazole derivative claimed in any one of claims 1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting 10 of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, 15 a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, 20 a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a 25  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-

dipeptidase inhibitor, insulin-like growth factor-I,  
platelet-derived growth factor, a platelet-derived growth  
factor analogue, epidermal growth factor, nerve growth factor,  
a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin,  
5 EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics,  
cathartics, a hydroxymethylglutaryl coenzyme A reductase  
inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist,  
an acyl-coenzyme A cholesterol acyltransferase inhibitor,  
probcol, a thyroid hormone receptor agonist, a cholesterol  
10 absorption inhibitor, a lipase inhibitor, a microsomal  
triglyceride transfer protein inhibitor, a lipoxygenase  
inhibitor, a carnitine palmitoyl-transferase inhibitor, a  
squalene synthase inhibitor, a low-density lipoprotein receptor  
enhancer, a nicotinic acid derivative, a bile acid sequestrant,  
15 a sodium/bile acid cotransporter inhibitor, a cholesterol ester  
transfer protein inhibitor, an appetite suppressant, an  
angiotensin-converting enzyme inhibitor, a neutral  
endopeptidase inhibitor, an angiotensin II receptor antagonist,  
an endothelin-converting enzyme inhibitor, an endothelin  
receptor antagonist, a diuretic agent, a calcium antagonist,  
20 a vasodilating antihypertensive agent, a sympathetic blocking  
agent, a centrally acting antihypertensive agent, an  
 $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid  
synthesis inhibitor, a uricosuric agent and a urinary  
25 alkalinizer.

38. A use of (A) a pyrazole derivative claimed in any one of

claims 1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer,  
5 a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase  
10 inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue,  
15 an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-  
20 dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics,  
25 cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor,

probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a 5 squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral 10 endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an 15  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, auricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia or a disease associated with the increase of blood 20 galactose level.

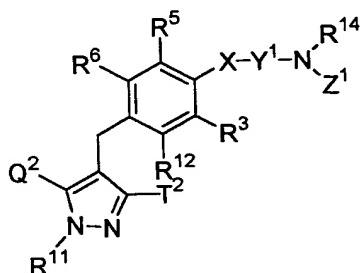
39. A use of (A) a pyrazole derivative claimed in any one of claims 1-18, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the 25 group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon

receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoeics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcrol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor

enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral 5 endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an 10  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, auricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject.

15

40. A pyrazole derivative represented by the general formula:



wherein

$R^{11}$  represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a hydroxy(C<sub>2-6</sub> alkyl) group which may have a protective group, a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyl-substituted (C<sub>1-6</sub> alkyl) group, an aryl group which may have 20

the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1</sub>-6 alkyl group and a C<sub>1</sub>-6 alkoxy group, or an aryl(C<sub>1</sub>-6 alkyl) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1</sub>-6 alkyl group and a C<sub>1</sub>-6 alkoxy group on the ring;

one of Q<sup>2</sup> and T<sup>2</sup> represents a 2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyloxy group, a 2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy group, a 2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyloxy group or a 2,3,4,6-tetra-O-pivaloyl-β-D-galactopyranosyloxy group, while the other represents a C<sub>1</sub>-6 alkyl group, a halo(C<sub>1</sub>-6 alkyl) group, a C<sub>1</sub>-6 alkoxy-substituted (C<sub>1</sub>-6 alkyl) group or a C<sub>3</sub>-7 cycloalkyl group;

R<sup>12</sup> represents a hydrogen atom, a halogen atom, a hydroxy group which may have a protective group, a C<sub>1</sub>-6 alkyl group, a C<sub>1</sub>-6 alkoxy group, a C<sub>1</sub>-6 alkylthio group, a halo(C<sub>1</sub>-6 alkyl) group, a halo(C<sub>1</sub>-6 alkoxy) group, a C<sub>1</sub>-6 alkoxy-substituted (C<sub>1</sub>-6 alkoxy) group, a C<sub>3</sub>-7 cycloalkyl- substituted (C<sub>2</sub>-6 alkoxy) group or -A-R<sup>1A</sup> in which A represents a single bond, an oxygen atom, or a methylene group, an ethylene group, -OCH<sub>2</sub>- or -CH<sub>2</sub>O-; and R<sup>1A</sup> represents a C<sub>3</sub>-7 cycloalkyl group, a C<sub>2</sub>-6 heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino

group which may have a protective group, a C<sub>1</sub>-6 alkyl group, a C<sub>1</sub>-6 alkoxy group, a C<sub>2</sub>-6 alkenyloxy group, a halo(C<sub>1</sub>-6 alkyl) group, a hydroxy(C<sub>1</sub>-6 alkyl) group which may have a protective group, a carboxy group which may have a protective group, a C<sub>2</sub>-7 alkoxycarbonyl group, a cyano group and a nitro group, or a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom and a C<sub>1</sub>-6 alkyl group; 5  
X represents a single bond, an oxygen atom or a sulfur atom;

Y<sup>1</sup> represents a C<sub>1</sub>-6 alkylene group which may be substituted by a hydroxy group which may have a protective group, or a C<sub>2</sub>-6 alkenylene group; 10

Z<sup>1</sup> represents -R<sup>1B</sup>, -COR<sup>1C</sup>, -SO<sub>2</sub>R<sup>1C</sup>, -CON(R<sup>1D</sup>)R<sup>1E</sup>, -SO<sub>2</sub>NHR<sup>1F</sup> or -C(=NR<sup>1G</sup>)N(R<sup>1H</sup>)R<sup>1I</sup>;

R<sup>1C</sup> represents an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1</sub>-6 alkylsulfonylamino group, a C<sub>1</sub>-6 alkyl group and a C<sub>1</sub>-6 alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group which may have a protective group and a C<sub>1</sub>-6 alkyl group, or a C<sub>1</sub>-6 alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (ii); 15  
20  
25

R<sup>14</sup>, R<sup>1B</sup>, R<sup>1D</sup>, R<sup>1E</sup> and R<sup>1F</sup> are the same or different, and each represents a hydrogen atom, an aryl group which may have the same or different 1 to 3 substituents selected from the group

consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group which may have a protective group and a C<sub>1-6</sub> alkyl group, or a C<sub>1-6</sub> alkyl group which may have the same or different 5 groups selected from the following substituent group (ii), or both of R<sup>14</sup> and R<sup>1B</sup> bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group which may have a protective group and a C<sub>1-6</sub> alkyl-10 sulfonlamino-substituted (C<sub>1-6</sub> alkyl) group, or both of R<sup>1D</sup> and R<sup>1E</sup> bind together with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group which may have a protective group and a C<sub>1-6</sub> alkylsulfonyl-15 amino-substituted (C<sub>1-6</sub> alkyl) group;

R<sup>1G</sup>, R<sup>1H</sup> and R<sup>1I</sup> are the same or different, and each represents a hydrogen atom, a cyano group, a carbamoyl group, a C<sub>2-7</sub> acyl group, a C<sub>2-7</sub> alkoxycarbonyl group, an aryl(C<sub>2-7</sub> alkoxycarbonyl) group, a nitro group, a C<sub>1-6</sub> alkylsulfonyl group, a sulfamide group, a carbamimidoyl group, or a C<sub>1-6</sub> alkyl group 20 25

which may have the same or different 1 to 5 groups selected from  
the following substituent group (ii), or both of R<sup>1G</sup> and R<sup>1H</sup>  
bind to form an ethylene group, or both of R<sup>1H</sup> and R<sup>1I</sup> bind together  
with the neighboring nitrogen atom to form a C<sub>2-6</sub> cyclic amino  
group which may have a substituent selected from the group  
consisting of a hydroxy group which may have a protective group,  
a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a  
carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group which  
may have a protective group and a C<sub>1-6</sub> alkylsulfonylamino-  
substituted (C<sub>1-6</sub> alkyl) group;

R<sup>3</sup>, R<sup>5</sup> and R<sup>6</sup> are the same or different, and each represents  
a hydrogen atom, a halogen atom, a C<sub>1-6</sub> alkyl group or a C<sub>1-6</sub>  
alkoxy group; and

substituent group (ii) consists of a hydroxy group which  
may have a protective group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio  
group, an amino group which may have a protective group, a mono  
or di(C<sub>1-6</sub> alkyl)amino group which may have a protective group,  
a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group which may have a  
protective group, an ureido group, a sulfamide group, a mono  
or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide  
group, a C<sub>2-7</sub> acylamino group, a C<sub>1-6</sub> alkylsulfonylamino group,  
a C<sub>1-6</sub> alkylsulfonyl group, a carboxy group which may have a  
protective group, a C<sub>2-7</sub> alkoxycarbonyl group, -CON(R<sup>1J</sup>)R<sup>1K</sup> in  
which R<sup>1J</sup> and R<sup>1K</sup> are the same or different, and each represents  
a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have the same  
or different 1 to 3 substituents selected from the group  
consisting of a hydroxy group which may have a protective group,

an amino group which may have a protective group, a mono or di(C<sub>1</sub>-6 alkyl)amino group which may have a protective group, a mono or di[hydroxy(C<sub>1</sub>-6 alkyl)]amino group which may have a protective group, an ureido group, a mono or di(C<sub>1</sub>-6 alkyl)ureido group,

5 a C<sub>2</sub>-7 acylamino group, a C<sub>1</sub>-6 alkylsulfonylamino group and a carbamoyl group, or both of R<sup>1J</sup> and R<sup>1K</sup> bind together with the neighboring nitrogen atom to form a C<sub>2</sub>-6 cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl

10 group, a C<sub>1</sub>-6 alkyl group, an oxo group, a carbamoyl(C<sub>1</sub>-6 alkyl) group, a hydroxy(C<sub>1</sub>-6 alkyl) group which may have a protective group and a C<sub>1</sub>-6 alkylsulfonylamino-substituted (C<sub>1</sub>-6 alkyl) group, an aryl(C<sub>1</sub>-6 alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting

15 of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1</sub>-6 alkyl group and a C<sub>1</sub>-6 alkoxy group on the ring, an aryl(C<sub>1</sub>-6 alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen

20 atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1</sub>-6 alkyl group and a C<sub>1</sub>-6 alkoxy group on the ring, a C<sub>3</sub>-7 cycloalkyl group, a C<sub>2</sub>-6 heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group

25 consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C<sub>1</sub>-6 alkylsulfonylamino group, a C<sub>1</sub>-6 alkyl group and

a C<sub>1-6</sub> alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group which may have a protective group and a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C<sub>1-6</sub> alkyl group, an oxo group, a carbamoyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group which may have a protective group and a C<sub>1-6</sub> alkyl-sulfonylamino-substituted(C<sub>1-6</sub> alkyl) group, and a C<sub>1-4</sub> aromatic cyclic amino group which may have a C<sub>1-6</sub> alkyl group as a substituent, or a salt thereof.